09/288,556

INDEX NAME)

$$\begin{array}{c|c} N & N \\ N & N \end{array}$$

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:575148 CAPLUS

DOCUMENT NUMBER:

134:36671

TITLE:

Influence of the aliphatic spacer length on the 5-HT1A

receptor activity of new arylpiperazines with an

indazole system

AUTHOR(S):

Paluchowska, Maria H.; Duszynska, Beata; Klodzinska,...

Aleksandra; Tatarczynska, Ewa

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (2000), 52(3), 209-216

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Novel arylpiperazines, contg. a terminal 1- or 2-indazolyl fragment and a di- or tetramethylene aliph. spacer, were synthesized and their 5-HT1A and 5-HT2A receptor affinities were detd. All those compds. showed a potent affinity for 5-HT1A receptors (Ki = 5-16 nM) and were evaluated for and receptors (Ki = 5-16 nM) intrinsic activity at those receptors. To det. a 5-HT1A agonistic effections activity of the investigated compds., their ability to induce a lower lip The Late of the Comment Court retraction in rats and a behavioral syndrome (flat body posture and retresition in rel forepaw treading) in reserpinized rats were tested, whereas their 5-HT1A antagonistic activity was assessed via inhibition of those symptoms with region 1 to 2 or sold produced by 8-hydroxy-2-(di-n-propylamino)tetralin hydrobromide priminer of a pri (8-OH-DPAT). The effect of spacer length on the 5-HT1A activity of the DPAT). The tested compds. was discussed in comparison with that of the 3-methylene analogs described earlier. Both dimethylene derivs, were characterized ascer accented. weak postsynaptic 5-HT1A receptor antagonists. Compds. 1-indazolyl analog and 2-indazolyl analog, with a tetramethylene aliph. chain were classified as a postsynaptic 5-HT1A antagonist and a partial 5-HT1A agonist, respair a pantagonist Furthermore, the latter showed a moderate anxiolytic-like effect (conflightermore, the drinking Vogel's test in rats) and a weak antidepressant-like activity. This is the standard very term of the control of the c (forced swimming Porsolt's test in rats). (forced swimming IT

313053-44-0P 313600 14-0P study, unclassified); PRP (Properties); SPN (Synthetic preparation); THULLER, MARCHINETIC (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arylpiperazines, new 5-HT1A-receptor ligands)

313053-44-0 - CAPLUS

1H-Indazole, 1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-, CN dihydrochloride (9CI) (CA INDEX NAME)

1H-Tudasolle, 1-1 dibydrochloride

●2 HCl

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents.

40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE: Journal

LANGUAGE:

English

A new set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A The new 5-HT1A receptor ligands were investigated in vivo to selectivity. det. their 5-HT1A agonistic or antagonistic properties. Compds. with terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification for their 5-HT1A functional activity.

184535-35-1

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) INDEX NAME)

HCl

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents.

40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal

LANGUAGE:

English

A new set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A The new 5-HT1A receptor ligands were investigated in vivo to selectivity. det. their 5-HT1A agonistic or antagonistic properties. Compds. with terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds, revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification for their 5-HT1A functional activity.

184535-35-1 TT

> RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) INDEX NAME)

09/288,556

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS 24 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:193935 CAPLUS

DOCUMENT NUMBER:

130:237561

TITLE:

Indole and indazole derivatives, process for their preparation and the pharmaceutical compositions

ADDITION NO

חתתח

containing them

INVENTOR(S):

Lavielle, Gilbert; Muller, Olivier;

Vayssettes-Courchay, Christine; Descombes,

Jean-Jacques; Verbeuren, Tony

PATENT ASSIGNEE(S):

SOURCE:

Adir et Compagnie, Fr. Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

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FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DATENT NO

PA'	TENT NO.	KIND	DATE	APPLICATION NO. DATE	•
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AT	203531	E	20010815	AT 1998-402154 19980901	
ES	2162404	Т3	20011216	ES 1998-402154 19980901	
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CA	2246485	AA	19990303	CA 1998-22464850UHOB80903	Pharmazie
ZA	9808072	A	19990309	ZA 1998-8072 · 19980903	CODEN: PHA-
UA	9883068	A1	19990318	AU 1998-83068 MTD:19980903	Gevi-Veglu
AU	736602	B2	20010802	DOCUMENT TYPE:	
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1. Lo serblonia radephors 2 Comp. The title compds. In [n = 0, 1, A = bond, alkylene, alkenylene; Ymay Nie QR2cmising ligand where R2 = H, alkyl; R1 = H, alkyl; G1 = pyrrolidinyl) piperidyl optionally substituted] were prepd. E.g., 1-{3-[4-(5-methox4pyrimindin-1yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

IT 221249-30-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

RN 221249-30-5 CAPLUS

CN 1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:148062 CAPLUS

DOCUMENT NUMBER:

130:276243

TITLE:

Synthesis of 3-aryl-1-[(4-phenyl-1-

piperazinyl)butyl]indazole derivatives and their

affinity to 5-HTla serotonin and dopamine D1 receptors Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci.

AUTHOR (S):

• • •

SOURCE #

CORPORATE SOURCE:

Ukraine, Odessa, 270086, Ukraine Pharmazie (1999), 54(2), 99-101 " HOURCE:

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER:

Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE:

Journal

English LANGUAGE: Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A serotonin and D1 dopamine receptors was investigated by radioligand analigance for the Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole mol. wasungsituents obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to..... even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine) butyl substituent caused and a substitution caused and a subst increase of affinity and did not change the trends of affinity dependence of affi on structure. An inverse dependence on the structure of the studied on mirroritare. compds. was obsd. for the serotonin 5-HT1A receptors. Compds. contg. acMeds. was obsd. group at the 5-position of mol. were more active than compds. contg.

group at the 5 , halogens. A Cl2 atom at the ortho-position of the Ph ring decreased halogens. A Cl2 affinity. Replacement of the H2 atom at the 1st position of the mol. one in the Replacement of the H2 atom at the 1st position of the mol. one in the Replacement of the H2 atom at the 1st position of the mol. the (phenylpiperazine) butyl substituent led to an increase in affinity Selectivity of the studied compds. varied within a wide range. Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs, and analogs increased their affinity to dopamine receptors and reduced their affinity to serotonin receptors? Compds. contg. a Br2 atom in the 3-arylindazole serotonin re-

Management of the second state of the second 163434-05-7P 163434-06-8P 163434-07-9P

363434-05-76 (6) 103434-08-0P

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Thomas Control

DOCUMENT TYPE:

163434-08-0P

yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

IT 221249-30-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

RN221249-30-5 CAPLUS

CN 1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

5

ACCESSION NUMBER:

1999:148062 CAPLUS

DOCUMENT NUMBER:

AUTHOR (S):

130:276243

TITLE:

Synthesis of 3-aryl-1-[(4-phenyl-1-

piperazinyl)butyl]indazole derivatives and their

affinity to 5-HTla serotonin and dopamine Dlareceptors

Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

CORPORATE SOURCE:

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci. ...

Ukraine, Odessa, 270086, Ukraine Pharmazie (1999), 54(2), 99-101

SOURCE:

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER:

Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A serotonin and D1 dopamine receptors was investigated by radioligand anal. Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole moken was obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine) butyl substituent caused an increase of affinity and did not change the trends of affinity dependence on structure. An inverse dependence on the structure of the studied compds. was obsd. for the serotonin 5-HT1A receptors Compds. contg. group at the 5-position of mol. were more active than compds. contg. halogens. A Cl2 atom at the ortho-position of the Ph ring decreased affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine)butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range: [1] Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs increased their affinity to dopamine receptors and reduced their affinity us to serotonin receptors. Compds. contg. a Br2 atom in the Brary kindazole romo-3-(2-chl. moiety may be promising ligands for D1 receptors. piperazinyl)butyll-, monohydro

163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of 3-arylindazole derivs. and their affinity to 5-HTla serotonin and dopamine D1 receptors)

RN 163434-05-7 CAPLUS

CN 1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 163434-06-8 CAPLUS

CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC]

RN 163434-07-9 CAPLUS -

1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

CONTROL A

in 14-Indazole, 5 — piparazinyl)bů

HC1

RN 163434-08-0 CAPLUS

CN

1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1996:701302 CAPLUS

DOCUMENT NUMBER:

126:47180

TITLE:

Structure-activity relationship studies of CNS agents. Part 31. Analogs of MP 3022 with a different number of nitrogen atoms in the heteroaromatic fragment. New

5-HT1A receptor ligands

AUTHOR (S):

Paluchowska, Maria H.; Deren-Wesolek, Anna; Mokrosz, Jerzy L.; Charakchieva-Minol, Sijka; Chojnacka-Wojcik,

Ewa

RN 400804-91-3 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-92-4 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1- CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1- CN 1H-Pyrazolo[4,3-c]piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INTEXTRALITYL]propyllame)

......

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1995:682542 CAPLUS

DOCUMENT NUMBER:

123.: 83356

TITLE: ...

-- Preparation of 3-(1-piperazinyl)-1,2-benzisothiazole

INVENTOR(S):

Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, Yuuko() (S): Ichimaru, Yasuyuki; Imanishi, Taiichiro

PATENT ASSIGNEE(S):

Meiji Seika K. K., Japan

SOURCE:

PCT Int. Appl., 95 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT 1	NO.		KIN	ID	DATE			Al	PPLIC	CATIO	ON NC).	DATE			
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		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE
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		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	IT,	LI,	NL,	SE				
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PRIORITY APPLN. INFO.:

JP 1993-17505 A 19930204 WO 1994-JP1 A 19940104

WO 1994-JP1 WO 1994-JP159

1 19940104

02256

Ι

W 19940203

OTHER SOURCE(S):

MARPAT 123:83356

$$Q^2 = \begin{array}{c} \\ \\ \\ \\ \\ \end{array}$$

AB Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = H, halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2, cyano; R2, R3 = H, halo, lower (halo)alkyl or alkoxy, NH2, cyano, provided that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3-5)] and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60.degree. for 30 min with stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at 60.degree. for 4 h to give 64% 1-(4-bromobutyl)-2(1H)-quinolinone (II). II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were suspended in DMF and stirred at room temp. for 12 h to give 80% title

CN

compd. I (n = 4, W = 2-oxo-1,2-dihydro-1-quinolinyl). II (n = 4, W = 9-carbazolyl) and II (n = 3, W = 2-oxo-1,2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.).

IT 165109-38-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [N-(heterocyclylalkyl)piperazinyl]benzisothiazole derivs. as antipsychotics)

RN 165109-38-6 CAPLUS

1,2-Benzisothiazole, 3-[4-[4-(3-chloro-1H-indazol-1-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

=> file reg
COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading 928122.str

L1 STRUCTURE UPLOADED

Uploading 928122a.str

L2 STRUCTURE UPLOADED

=> Uploading 928122b.str

L3 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

09/288,556

$$\begin{array}{c}
G3 \\
G3 \\
G3
\end{array}$$

$$\begin{bmatrix}
G2 \\
0-1
\end{bmatrix}$$

$$\begin{bmatrix}
G1 \\
0-2
\end{bmatrix}$$

$$G1$$

$$G1$$

G1 C,O,S,N

G2 C,S

G3 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d l2 L2 HAS NO ANSWERS L2 STR

$$\begin{bmatrix} \mathbf{G1} \\ \mathbf{G1} \end{bmatrix} = \begin{bmatrix} \mathbf{$$

G1 C, O, S, N

G2 C,S

G3 C,N

G4 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> d 13

L3 HAS NO ANSWERS

L3 STR

$$\begin{bmatrix} G1 \\ 0-2 \end{bmatrix}$$

G1 C, O, S, N

G2 C,S

G3 C,N

G4 O, S, N

G5 0,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:36:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 357 TO ITERATE

100.0% PROCESSED 357 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 6007 TO 8273

PROJECTED ANSWERS: 11 TO 389

L4 10 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:36:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6868 TO ITERATE

100.0% PROCESSED 6868 ITERATIONS 247 ANSWERS

SEARCH TIME: 00.00.01

L5 247 SEA SSS FUL L1

=> s 12

SAMPLE SEARCH INITIATED 14:37:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

09/288,556

L6 0 SEA SSS SAM L2

=> s 12 sss full

FULL SEARCH INITIATED 14:37:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 36 TO ITERATE

100.0% PROCESSED 36 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L7 3 SEA SSS FUL L2

=> s 13

SAMPLE SEARCH INITIATED 14:37:11 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 1 TO 80

L8 1 SEA SSS SAM L3

=> s 13 sss full

FULL SEARCH INITIATED 14:37:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.01

L9 9 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 444.85 445.06

FILE 'CAPLUS' ENTERED AT 14:37:26 ON 10 DEC 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24 FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

●x HCl

=> s 17

L11

4 L7

=> d l11 1-4 ibib abs hitstr

L11 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:300610 CAPLUS

DOCUMENT NUMBER:

138:304307

TITLE:

Preparation of piperazinylpropylpyrazolopyridines for

treatment of allergy

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT 'INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		- -			
	US 2003073672	A1	20030417	US 2001-947041	20010905
P	RIORITY APPLN. INFO.	:	US	2001-947041	20010905
_					

OTHER SOURCE(S):

MARPAT 138:304307

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GΙ

09/288,556

RN

CN

AB Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2, for treatment of allergy is claimed. Thus, 1-[3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M. ΤТ

Ι

400802-64-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) 400802-64-4 CAPLUS

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:282117 CAPLUS

DOCUMENT NUMBER: 138:304277

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 928,122.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
	-	-,	
US 2003069240	A1	20030410	US 2002-75673 20020213
US 2002040020	A1	20020404	US 2001-928122 20010810
PRIORITY APPLN. INFO.	:		US 2001-928122 A2 20010810
			US 2000-225138P P 20000814

OTHER SOURCE(S):

MARPAT 138:304277

GI ·

AB Title compds. I [wherein Ar = (un) substituted mono- or bicyclic (hetero) aryl; G = (un) substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is) oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo) alkyl, alkenyl, CN, or (un) substituted amino; or R1R2 = (un) substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd.

Ι

II

as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl, followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IT 400802-64-4P, 1-[1-[3-[4-(6-Chloro-benzothiazol-2-yl)piperazin-1yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-64-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:184899 CAPLUS

DOCUMENT NUMBER:

136:247576

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

T: 8

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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20020314
                                           WO 2001-US27479 20010905
     WO 2002020012
                       A2
     WO 2002020012
                       А3
                            20020613
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-928122
     US 2002040020
                            20020404
                                                             20010810
                       A1
     AU 2001088730
                                           AU 2001-88730
                       A5
                            20020322
                                                             20010905
     EP 1315491
                       A2
                            20030604
                                           EP 2001-968486
                                                             20010905
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                        US 2000-230407P
                                                          P
                                                             20000906
                                         US 2001-928122
                                                          Α
                                                             20010810
                                        US 2000-225138P
                                                          Ρ
                                                             20000814
                                         WO 2001-US27479
                                                         W
                                                             20010905
OTHER SOURCE(S):
                         MARPAT 136:247576
GI
```

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic (hetero) aryl; G = (un) substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is) oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo) alkyl, alkenyl, CN, or (un) substituted amino; or R1R2 = (un) substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition,

CN

including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl, followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IT 400802-64-4P, 1-[1-[3-[4-(6-Chloro-benzothiazol-2-yl)piperazin-1yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-64-4 CAPLUS

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:142707 CAPLUS

DOCUMENT NUMBER:

136:200181

TITLE:

Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their

pharmaceutical compositions and use as

immunosuppressants

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.;

Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 161 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

. ลั

PATENT INFORMATION:

PATENT NO. KIND DATE

APPLICATION NO. DATE

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WO 2002014314
                      A2
                            20020221
                                          WO 2001-US25289 20010810
                            20020606
     WO 2002014314
                      A3
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         AU 2001-81255
     AU 2001081255
                     A5
                          20020225
                                                          20010810
     US 2002040020
                      A1
                           20020404
                                          US 2001-928122
                                                            20010810
                           20030514
     EP 1309591
                      A2
                                          EP 2001-959731
                                                            20010810
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                        US 2000-225138P P 20000814
                                        US 2001-928122
                                                        A 20010810
                                        WO 2001-US25289 W 20010810
OTHER SOURCE(S):
                       MARPAT 136:200181
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
```

AB Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, (un) substituted NH2, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un)substituted NH2; or R1R2 = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R5R6 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = (un) substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar = (un) substituted mono- or bicyclic (hetero) aryl; W = SO2, CO, (un) substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring; including stereoisomers and pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 250 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 24 compds. For instance, 4-(2-chloro-6methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester (prepd. in 4 steps) was deprotected with TFA and coupled with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was another of three specifically preferred compds. 400802-64-4P, 1-[1-[3-[4-(6-Chlorobenzothiazol-2-yl)piperazin-1yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-11-0P, 1-[1-[2-Hydroxy-3-[4-(6-nitrobenzothiazol-2-yl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400805-12-1P, 1-[1-[2-Hydroxy-3-[4-(6methoxybenzothiazol-2-yl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

RN 400802-64-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-11-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(6-nitro-2-benzothiazolyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-12-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(6-methoxy-2-benzothiazolyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

=> s 19

L12

5 L9

=> d l12 1-5 ibib abs hitstr

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:300610 CAPLUS

DOCUMENT NUMBER:

138:304307

TITLE:

Preparation of piperazinylpropylpyrazolopyridines for

treatment of allergy

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIŅD	DATE	APPLICATION NO.	DATE
US 2003073672	A1	20030417	US 2001-947041	20010905
PRIORITY APPLN. INFO.	:	US	2001-947041	20010905
OTHER SOURCE(S):	MA	RPAT 138:304307		

GI

AB Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl,

I

RN

CN

cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2], for treatment of allergy is claimed. Thus, 1-{3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M.

IT 400802-63-3P 400802-65-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) 400802-63-3 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

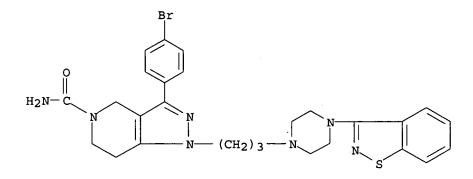
IT 400802-74-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy)

RN 400802-74-6 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:282117 CAPLUS

DOCUMENT NUMBER:

138:304277

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-

c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR (S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 928,122. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 2003069240	A1	20030410	US 2002-75673	20020213		
US 2002040020	A1	20020404	US 2001-928122	20010810		
PRIORITY APPLN. INFO.	:		US 2001-928122 A2	20010810		
			IIS 2000-225138P P	20000814		

OTHER SOURCE(S):

MARPAT 138:304277

GI

(Uses)

RN CN

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic AB (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

Ι

ΙI

400802-63-3P, 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-65-5P, 1-[1-[3-(4-Benzo[d]isoxazol-3-yl-piperazin-1-yl)-2-hydroxy-propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) 400802-63-3 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-74-6 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:184899 CAPLUS

DOCUMENT NUMBER:

136:247576

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S): Breitenbucher, J. Guy;

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

SOURCE:

Patent English

LANGUAGE: E FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

OTHER SOURCE(S):

GI

PA	PATENT NO.			KI	ND DATE		APPLICATION NO.						DATE				
				A2 20020314 A3 20020613			WO 2001-US27479						20010905				
WO								7.17	T3 76	DD.	D.C.	DD	DV	D.6	C 12	CIT	CDT
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														KZ,			
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		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪĠ,
		UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM		
	RW:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	-
US	2002	0400	20	A.	1	20020404 US 2001-928122 20010810											
AU	2001	0887	30	A.	A5 20020322			AU 2001-88730 20010905									
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PRIORIT	IE, SI, LT, LV, FI, RO, PRIORITY APPLN. INFO.:							•	•	•		07P	Р	2000	1906		
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II

MARPAT 136:247576

RN

CN

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic AB (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IT 400802-63-3P, 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-65-5P,
1-[1-[3-(4-Benzo[d]isoxazol-3-yl-piperazin-1-yl)-2-hydroxy-propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) 400802-63-3 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

400802-74-6 CAPLUS RN

5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-CNyl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:142707 CAPLUS

DOCUMENT NUMBER: TITLE:

136:200181 Substituted and/or fused pyrazoles, particularly

piperazinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their

pharmaceutical compositions and use as

immunosuppressants

INVENTOR (S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.;

Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 161 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE ----WO 2002014314 **A2** 20020221 WO 2001-US25289 20010810 WO 2002014314 Α3 20020606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
            VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                          20020225
                                         AU 2001-81255
                                                          20010810
     AU 2001081255
                     A5
     US 2002040020
                      A1
                           20020404
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                                                           20010810
                                         EP 2001-959731
     EP 1309591
                      A2
                           20030514
                                                           20010810
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                       US 2000-225138P P
                                                           20000814
                                       US 2001-928122 A 20010810
                                       WO 2001-US25289 W 20010810
                       MARPAT 136:200181
OTHER SOURCE(S):
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and AB methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, (un) substituted NH2, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un) substituted NH2; or R1R2 = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R5R6 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = 1(un) substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar = (un) substituted mono- or bicyclic (hetero) aryl; W = SO2, CO, (un) substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring; including stereoisomers and pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 250 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 24 compds. For instance, 4-(2-chloro-6methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester (prepd. in 4 steps) was deprotected with TFA and coupled with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was another of three specifically preferred compds. IT400802-63-3P, 1-[3-[4-[1,1-Dioxo-1.lambda.6-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-65-5P, 1-[1-[3-(4-Benzo[d]isoxazol-3-ylpiperazin-1-yl)-2-hydroxypropyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-74-6P, 1-[3-(4-Benzo[d]isothiazol-3ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid amide 400804-88-8P, 3-(4-Bromophenyl)-1-[3-[4-[1,1-dioxo-1.lambda.6-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridine 400804-89-9P, 1-[1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-90-2P,

1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-5methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-91-3P, 1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1yl)propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400804-92-4P, 1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) 400802-63-3 CAPLUS RN1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-CN 1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

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RN 400802-65-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-74-6 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-88-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(4-bromophenyl)-1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-89-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-90-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

09/288,556

RN 400804-91-3 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-92-4 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:682542 CAPLUS

DOCUMENT NUMBER: 123:83356

TITLE: Preparati

Preparation of 3-(1-piperazinyl)-1,2-benzisothiazole

derivatives with antipsychotic effect

INVENTOR(S): Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, Yuuko;

Ichimaru, Yasuyuki; Imanishi, Taiichiro

09/288,556

PATENT ASSIGNEE(S):

Meiji Seika K. K., Japan

SOURCE:

PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE ______ ____ WO 1994-JP159 19940203 WO 9418197 19940818 A1 W: CN, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE EP 1994-905841 19940203 EP 635506 A1 19950125 R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE CN 1103534 CN 1994-190042 19940203 19950607 Α CN 1050604 20000322 В US 5599815 19970204 US 1994-318857 19941220 Α A 19930204 PRIORITY APPLN. INFO.: JP 1993-17505 WO 1994-JP1 A 19940104 W 19940203

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WO 1994-JP159

OTHER SOURCE(S):

MARPAT 123:83356

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 & N \\$$

Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, AB e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = H, halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2, cyano; R2, R3 = H, halo, lower (halo)alkyl or alkoxy, NH2, cyano, provided that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3-5)] and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60 degree. for 30 min with stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at 60.degree. for 4 h to give 64% 1-(4-bromobutyl)-2(1H)-quinolinone (II). II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were suspended in DMF and stirred at room temp. for 12 h to give 80% title

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CN

compd. I (n = 4, W = 2-oxo-1,2-dihydro-1-quinolinyl). II (n = 4, W = 9-carbazolyl) and II (n = 3, W = 2-oxo-1,2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.). 165109-38-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [N-(heterocyclylalkyl)piperazinyl]benzisothiazole derivs. as antipsychotics)

RN 165109-38-6 CAPLUS

1,2-Benzisothiazole, 3-[4-[4-(3-chloro-1H-indazol-1-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

=> s 15

L10 14 L5

=> d l10 1-14 ibib abs hitstr

L10 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:300610 CAPLUS

DOCUMENT NUMBER: 138:304307

TITLE: Preparation of piperazinylpropylpyrazolopyridines for

treatment of allergy

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

20010905

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

Ι

US 2003073672 A1 20030417 US 2001-947041 20010905

PRIORITY APPLN. INFO.: US 2001-947041

OTHER SOURCE(S): MARPAT 138:304307

GΙ

IT

ΔR Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2, for treatment of allergy is claimed. Thus, 1-[3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M.

400802-47-3P 400802-70-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

TT

(Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) RN 400802-47-3 CAPLUS CN 1H-Pyrazolo [4,3-c] pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(CA INDEX NAME)

400802-70-2 CAPLUS RN CN1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

400802-42-8P 400802-43-9P 400802-44-0P 400802-45-1P 400802-46-2P 400802-49-5P 400802-50-8P 400802-51-9P 400802-52-0P 400802-53-1P 400802-54-2P 400802-55-3P 400802-56-4P 400802-57-5P 400802-58-6P 400802-59-7P 400802-60-0P 400802-61-1P 400802-62-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) RN 400802-42-8 CAPLUS CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400802-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400802-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$

RN 400802-46-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400802-49-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

IT 400802-66-6 400802-67-7 400802-68-8 400802-69-9 400802-71-3 400802-72-4 400802-73-5 400802-75-7 400802-76-8 400802-77-9 400802-78-0 400802-79-1 400802-80-4 400802-81-5 400802-82-6 400802-83-7 400802-84-8 400802-85-9 400802-86-0 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) RN 400802-66-6 CAPLUS 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-CN1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

Me-S
N
O
N
O
N
$$CF_3$$
 $CH_2-CH-CH_2$
 N
 NH_2

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CI
INDEX NAME)

RN 400802-68-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-69-9 CAPLUS

CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS

CN

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Me-S, N (CH₂) 3 N
$$\sim$$
 C1

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-84-8 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

IT 400802-96-2P 400802-99-5P 400803-03-4P

400803-04-5P 400803-06-7P 400803-07-8P

400803-08-9P 400803-09-0P 400803-10-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy)

RN 400802-96-2 CAPLUS

CN Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400802-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-03-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400803-07-8 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:282117 CAPLUS

DOCUMENT NUMBER: 138:304277

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-

c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 928,122.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
US 2003069240	A1	20030410	US 2002-75673 20020213	
US 2002040020	A1	20020404	US 2001-928122 20010810	
PRIORITY APPLN. INFO.	:		US 2001-928122 A2 20010810	

OTHER SOURCE(S): MARPAT 13

GI .

US 2000-225138P P 20000814

MARPAT 138:304277

II

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AB Title compds. I [wherein Ar = (un) substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of

' IT

TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-47-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenylamine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-43-9 CAPLUS CN 1H-Pyrazolo[4.3-c]pyrid

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-

piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-70-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-

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tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-49-5P,
1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)propyl]-
3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-
2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid
1-[5-carbamoyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
ylmethyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester
400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400802-54-2P, (R)-1-(3-(4-Bromophenyl)-1-[3-[4-(5-
chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-55-3P,
2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl]piperazin-1-
yl)benzonitrile 400802-56-4P, (3-(4-Chloro-3-methylphenyl)-1-[3-
[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl)oxoacetic acid methyl ester
400802-57-5P, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl
)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-
pyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-(4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]urea 400802-59-7P,
1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-
trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-(4-[2-hydroxy-3-
[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-
Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-62-2P, 2-(4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl)-3-[methanesulfonylamino]benzoic acid
methyl ester 400802-66-6P, 1-[4-(2-Amino-6-
chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-(4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea
400802-68-8P, 1-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-
yllpropyllpiperazin-1-yl)phenyll-3-methylurea 400802-69-9P,
3-Amino-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)benzoic acid methyl ester 400802-71-3P, 1-[2-(4-[3-[3-(4-
Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxy-propyl]piperazin-1-yl)-3-chlorophenyl]-3-methylurea
400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c] pyridine-5-carboxylic acid amide
400802-73-5P, [3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]carbamic acid methyl ester
400802-75-7P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl)-3-nitrobenzoic acid methyl ester 400802-76-8P,
1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yllpropan-2-ol 400802-77-9P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-
5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)benzonitrile 400802-78-0P,
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RN

CN

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3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-79-1P, 2-(4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl]piperazin-1-
yl)benzonitrile 400802-80-4P, 2-(4-[3-[3-(4-Chloro-3-
methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-81-5P,
1-(3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-
2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone
400802-82-6P, 1-[3-[4-(3,5-Dichloro-pyridin-4-yl)piperazin-1-
yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P,
2-(4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile
400802-84-8P, N-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-85-9P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
404028-96-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (antiallergy agent; prepn. of pyrazolopyridines antiallergy agents
   starting from piperidones, benzoyl chlorides and hydrazine)
400802-42-8 CAPLUS
1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2-
fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX
NAME)
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RN 400802-44-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 400802-54-2 CAPLUS

CN lH-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-67-7 CAPLUS CN 1H-Pyrazolo[4,3-c]py

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 400802-68-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-69-9 CAPLUS

CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-84-8 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 404028-96-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 404028-95-1 CMF C27 H32 Cl F3 N6 O5 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 400802-96-2P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7 tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile
 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-o tolyl-piperazin-1-yl)propyl]-1,4.6,7-tetrahydropyrazolo[4,3-c]pyridin-5 yl]ethanone 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7 tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
 400803-04-5P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7 tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1 yl)benzonitrile 400803-06-7P, 1-[3-[4-(2-Chloro-6 nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-

CN

trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-tertbutoxycarbonyl sulfonic acid amide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of pyrazolopyridines antiallergy agents starting

from piperidones, benzoyl chlorides and hydrazine)

RN 400802-96-2 CAPLUS

> Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1Hpyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400802-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(CA INDEX NAME) (9CI)

$$\begin{array}{c|c} & \text{C1} \\ & \text{O}_2\text{N} \\ & \text{N} \\ & \text{N} \\ & \text{OH} \\ & \text{N} \\ & \text{CH}_2\text{-}\text{CH}\text{-}\text{CH}_2\text{-}\text{N} \\ \end{array}$$

RN 400803-03-4 CAPLUS

CN

5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400803-07-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 404028-94-0P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 404028-94-0 CAPLUS

5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-CN piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

$$HO_2C$$
 N
 OH
 N
 $CH_2-CH-CH_2$
 N

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 3 OF 14

ACCESSION NUMBER:

2002:940422 CAPLUS

DOCUMENT NUMBER:

138:304240

TITLE:

Synthesis, molecular and crystal structure, and properties of 1-[4-(5-bromo-3-phenylindazol-1yl)butyl]-4-phenylpiperazine 1-oxide hydrochloride

AUTHOR (S):

Andronati, S. A.; Kolodeev, G. E.; Makan, S. Yu.; Simonov, Yu. A.; Chumakov, Yu. M.; Gdaniec, M. Fiz.-Khim. Inst. im. A. V. Bogatskogo, NAN Ukr.,

CORPORATE SOURCE:

Ukraine

SOURCE:

Fiziologichno Aktivni Rechovini (2002), (1), 4-9

CODEN: FARICW

PUBLISHER:

Natsional'na Farmatsevtichna Akademiya Ukraini

DOCUMENT TYPE: LANGUAGE:

Journal Russian

OTHER SOURCE(S):

CASREACT 138:304240

GΙ

AB The title compd. (I) was prepd. by oxidn. of the piperazine deriv. with H2O2 in the presence of acetic acid in 1,4-dioxane. The mol. and crystal structure of I was studied by x-ray crystallog. and the CNDO/2 computation method. I is a complex obtained by proton transfer from HCl to the O of the N-oxide group. I showed no affinity for 5-HT1A receptors of the CNS.

IT 508169-76-4

RL: PRP (Properties)

(CNDO/2 calcn. of structure of)

RN 508169-76-4 CAPLUS

CN 1H-Indazole, 5-bromo-1-[4-(1-oxido-4-phenyl-1-piperazinyl)butyl]-3-phenyl-(9CI) (CA INDEX NAME)

IT 508169-75-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(N-oxidn. by hydrogen peroxide)

RN 508169-75-3 CAPLUS

CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ & & \\ N & \\ N & \\ \end{array} \text{(CH2)} \ _{4} - N \\ \end{array} \text{Ph}$$

IT 508169-77-5P

RN 508169-77-5 CAPLUS

CN 1H-Indazole, 5-bromo-1-[4-(1-oxido-4-phenyl-1-piperazinyl)butyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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L10 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
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ACCESSION NUMBER:

2002:184899 CAPLUS

DOCUMENT NUMBER:

136:247576

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-

c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

GI

PCT Int. Appl., 125 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						DATE			APPLICATION NO.						DATE			
						-												
WO	2002	0200	12	A2		20020314			WO 2001-US27479					20010905				
WO	2002020012			A3		20020613												
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
•		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪĠ,	
		UΖ,	VN,	ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
US 2002040020				A1 20020404				US 2001-928122						20010810				
AU 2001088730				A5 20020322					AU 2001-88730 20010905									
EP 1315491				A2 20030604				EP 2001-968486						2001	0905			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
PRIORITY APPLN. INFO.								,	US 2	000-	2304	07P	P	2000	0906	/		
								•	US 2	001-	9281	22	Α	2001	0810	V		
									US 2	-000	2251	38P	P	2000	0814			
									WO 2	001-	US27	179	W	2001	0905			
OTHER SO	MARPAT 136:247576																	

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic AB (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

Ι

II

IT 400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-47-3P, 2-(4-[3-[5-Acetyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl)benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1yl]propyl]piperazin-1-yl)phenylamine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) 400802-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2methoxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7tetrahydropyrazolo [4,3-c] pyridin-5-yl] ethanone 400802-49-5P, 1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid 1-[5-carbamoyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1ylmethyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester 400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-otolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-54-2P, (R)-1-(3-(4-Bromophenyl)-1-[3-[4-(5chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-55-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl]piperazin-1yl)benzonitrile 400802-56-4P, (3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl)oxoacetic acid methyl ester **400802-57-5P**, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-(4-[3-[5methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]urea 400802-59-7P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-

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trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-(4-[2-hydroxy-3-
[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-
Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-62-2P, 2-(4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl)-3-[methanesulfonylamino]benzoic acid
methyl ester 400802-66-6P, 1-[4-(2-Amino-6-
chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-(4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea
400802-68-8P, 1-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-69-9P,
3-Amino-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)benzoic acid methyl ester 400802-71-3P, 1-[2-(4-[3-[3-(4-
Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxy-propyl]piperazin-1-yl)-3-chlorophenyl]-3-methylurea
400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c] pyridine-5-carboxylic acid amide
400802-73-5P, [3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]carbamic acid methyl ester
400802-75-7P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl)-3-nitrobenzoic acid methyl ester 400802-76-8P,
1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-77-9P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-
5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)benzonitrile 400802-78-0P,
3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-79-1P, 2-(4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl]piperazin-1-
yl) benzonitrile 400802-80-4P, 2-(4-[3-[3-(4-Chloro-3-
methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-81-5P,
1-(3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-
2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone
400802-82-6P, 1-[3-[4-(3,5-Dichloro-pyridin-4-yl)piperazin-1-
yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P,
2-(4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile
400802-84-8P, N-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-85-9P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
404028-96-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
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(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-42-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA
INDEX NAME)

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME).

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-68-8 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 400802-69-9 CAPLUS
CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$ Me

RN 400802-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-84-8 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 404028-96-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 404028-95-1 CMF C27 H32 Cl F3 N6 O5 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

TΤ 400802-96-2P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-otolyl-piperazin-1-yl)propyl]-1,4.6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-04-5P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl)benzonitrile 400803-06-7P, 1-[3-[4-(2-Chloro-6nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-tertbutoxycarbonyl sulfonic acid amide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) RN400802-96-2 CAPLUS CN Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1Hpyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400802-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400803-03-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400803-07-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CAINDEX NAME)

IT 404028-94-0P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 404028-94-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI)

(CA INDEX NAME)

$$HO_2C$$
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136:200181

ACCESSION NUMBER:

2002:142707 CAPLUS

DOCUMENT NUMBER: TITLE:

Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines,

useful as cathepsin S inhibitors, and their

pharmaceutical compositions and use as

immunosuppressants

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.;

Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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OTHER SOURCE(S):

MARPAT 136:200181

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
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Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and
AR
     methods of using them to treat, for example, autoimmune diseases mediated
     by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl,
     alkenyl, cyano, NO2, (un) substituted NH2, acyl, etc.; R2 = H, halo,
     alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un) substituted NH2; or R1R2
     = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered
     carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl,
     alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or
     heterocyclyl; or R5R6 = atoms to form (un) substituted (un) satd. (non) arom.
     5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G =
     (un) substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo,
     oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar =
     (un) substituted mono- or bicyclic (hetero) aryl; W = SO2, CO,
     (un) substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl,
     benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl,
     1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring;
     including stereoisomers and pharmaceutically acceptable salts, esters, and
     amides]. Claimed usages include treatment of lupus, rheumatoid arthritis,
     and particularly asthma, and inhibition of tissue transplant rejection.
     Approx. 250 individual compds. I were prepd. and/or claimed, with detailed
     prepns. given for 24 compds. For instance, 4-(2-chloro-6-
     methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester
     (prepd. in 4 steps) was deprotected with TFA and coupled with the
     corresponding epoxide (prepd. in several steps) to give title compd. II, a
     preferred compd. In an assay for inhibition of recombinant human
     cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was
     another of three specifically preferred compds.
     400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
TТ
     tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
     yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolylpiperazin-
     1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
     c]pyridin-5-yl]ethanone 400802-47-3P, 2-[4-[3-[5-Acetyl-3-(4-
     trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
     hydroxypropyl]piperazin-1-yl]benzonitrile 400802-50-8P,
     1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-
     1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl
     ester 400802-70-2P, 3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-
     trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
     yl]propyl]piperazin-1-yl]phenylamine
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate; prepn. of piperazinylpropyl-substituted
        pyrazolopyridines and analogs as cathepsin S inhibitors)
RN
     400802-43-9
                 CAPLUS
     1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-
CN
     tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI)
     INDEX NAME)
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RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2methoxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-49-5P, 1-[1-[2-[[2-(Piperazin-1-yl)ethyl]amino]-3-(4-o-tolylpiperazin-1yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide **400802-52-0P**, Carbamic acid 1-[[5-(carbamoy1)-3-(4-iodopheny1)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2cyanophenyl)piperazin-1-yl]ethyl ester 400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-54-2P**, (R)-1-[3-(4-Bromophenyl)-1-[3-[4-(5-chloro-2methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-55-3P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoropropyl]piperazin-1yl]benzonitrile 400802-56-4P, [3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]oxoacetic acid methyl ester **400802-57-5P**, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3c]pyridine 400802-58-6P, 1-[3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1yl]propyl]piperazin-1-yl]phenyl]urea 400802-59-7P,

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1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-
trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-[4-[2-hydroxy-3-
[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl]phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-
Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-62-2P, 2-[4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]-3-methanesulfonylaminobenzoic acid
methyl ester 400802-66-6P, 1-[4-(2-Amino-6-
chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-[4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]-3-methylurea
400802-68-8P, 1-[3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]phenyl]-3-methylurea 400802-69-9P,
3-Amino-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl]benzoic acid methyl ester 400802-71-3P, 1-[2-[4-[3-[3-(4-
Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl]-3-chlorophenyl]-3-methylurea
400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-73-5P, [3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]phenyl]carbamic acid methyl ester
400802-75-7P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]-3-nitrobenzoic acid methyl ester 400802-76-8P,
1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-77-9P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-
5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]benzonitrile 400802-78-0P,
3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-79-1P, 2-[4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400802-80-4P, 2-[4-[3-[3-(4-Chloro-3-
methylphenyl) -5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400802-81-5P,
1-[3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-
2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400802-82-6P, 1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-
yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P,
2-[4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile
400802-84-8P, N-[3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]phenyl]methanesulfonamide 400802-85-9P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-87-1P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
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azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide trifluoroacetate
400803-17-0P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-
methoxyphenyl)piperazin-1-yl]butyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-18-1P, 1-[1-[3-[4-[Bis(4-
fluorophenyl)methyl]piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-19-2P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-20-5P, 1-[3-(4-Chlorophenyl)-1-[3-
[4-(2-chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-21-6P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(3-chlorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-22-7P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(4-
chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-23-8P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-24-9P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(4-
fluorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-25-0P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(3-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-26-1P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(4-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-27-2P, 1-[3-(4-Chlorophenyl)-1-[2-
hydroxy-3-(4-phenylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-28-3P, 1-[1-[3-(4-
Benzhydrylpiperazin-1-yl)-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-29-4P,
1-[3-(4-Chlorophenyl)-1-[3-[4-[(4-chlorophenyl)phenylmethyl]piperazin-1-
yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-31-8P, 1-[1-[3-(4-Benzylpiperazin-1-yl)-2-
hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-
5-yl]ethanone 400803-33-0P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-34-1P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-trifluoromethylphenyl)piperazin-
1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-35-2P, 1-[3-(4-Fluorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-37-4P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-p-tolyl-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-38-5P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(3,4-dichlorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-39-6P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(pyridin-2-
yl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-40-9P, 1-[3-Biphenyl-4-yl-1-[2-hydroxy-3-[4-
(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-41-0P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-phenyl-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-42-1P,
1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-1)
methoxyphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-43-2P, 1-[1-[2-Hydroxy-3-[4-(pyridin-4-yl)piperazin-1-
yl]propyl]-3-(4-methoxyphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-45-4P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-
(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid tert-butyl ester 400803-46-5P,
1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-naphthalen-
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2-yl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-47-6P, 1-[3-(4-tert-Butylphenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-48-7P, 1-[3-(4-Chlorophenyl)-1-[2-
hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]butan-1-one 400803-49-8P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-[3-(4-Chlorophenyl)]]\\
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2,2-
dimethylpropan-1-one 400803-50-1P, [3-(4-Chlorophenyl)-1-[2-
hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl](4-methoxyphenyl)methanone
400803-51-2P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid amide 400803-52-3P,
1-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-[4-
(2-methoxyphenyl)piperazin-1-yl]propan-2-ol 400803-53-4P,
1-[3-(3,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-54-5P, 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-55-6P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-(4-nitrophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-56-7P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2,4-difluorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-57-8P, 2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400803-59-0P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,3-
dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-60-3P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-61-4P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,5-
dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-62-5P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(3-methyl-4-p-tolylpiperazin-1-
yl)propyl] -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-63-6P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(3-methyl-4-m-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-64-7P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-
[4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-65-8P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(3-chloro-5-trifluoromethylpyridin-2-
yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-66-9P, 1-[3-(4-Chlorophenyl)-1-[3-
[4-(3,5-dichloropyridin-4-yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-67-0P,
1-[3-(4-Chlorophenyl)-1-[4-[4-(2-methoxyphenyl)piperazin-1-yl]but-2-enyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-68-1P, 4-[5-Acetyl-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-
c]pyridin-3-yl]benzonitrile 400803-71-6P, 1-[3-(2,4-
Bistrifluoromethylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-72-7P, 1-[3-(2,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-73-8P, 2-[4-[3-[3-(4-
Chlorophenyl)-5,6-dihydro-4H-cyclopenta[c]pyrazol-1-yl]-2-
hydroxypropyl]piperazin-1-yl]benzonitrile 400803-74-9P,
2-[4-[3-[3-(4-Chlorophenyl)-5,6-dihydro-4H-cyclopenta[c]pyrazol-1-yl]-2-
hydroxypropyl]piperazin-1-yl]phenol 400803-75-0P,
1-[3-(4-Bromophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
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yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-76-1P, 1-[3-(4-Chlorophenyl)-1-[2-[(2-methylallyl)oxy]-3-(4-
o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-77-2P, 1-[1-[2-Benzyloxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-78-3P,
Acetic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester
400803-79-4P, Morpholine-4-carboxylic acid 1-[5-acetyl-3-(4-
chlorophenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl] -2-(4-o-
tolylpiperazin-1-yl)ethyl ester 400803-80-7P, Benzoic acid
1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-81-8P,
Benzoylcarbamic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-
yl)ethyl ester 400803-83-0P, 1-[3-(3-Chlorophenyl)-1-[2-hydroxy-
3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-84-1P,
2-[4-[3-[5-Acetyl-3-(3-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile
400803-85-2P, tert-Butylcarbamic acid 1-[5-acetyl-3-(4-
chlorophenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl] -2-(4-o-
tolylpiperazin-1-yl)ethyl ester 400803-86-3P, Carbonic acid
1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester methyl ester
400803-87-4P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-
hydroxyphenyl)piperazin-1-yl]but-2-enyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-88-5P, 2-[4-[4-[5-Acetyl-3-(4-
chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]but-2-
enyl]piperazin-1-yl]benzonitrile 400803-89-6P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-90-9P, 1-[3-(4-Chlorophenyl)-1-[5-[4-(2-
methoxyphenyl)piperazin-1-yl]pentyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-91-0P, 1-[3-(4-Chlorophenyl)-1-[6-
[4-(2-methoxyphenyl)piperazin-1-yl]hexyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-92-1P, 2-[1-[[5-Acetyl-3-(4-
chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-
tolylpiperazin-1-yl)ethoxy]acetamide 400803-93-2P,
[1-[[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-
1-yl]methyl]-2-(4-o-tolylpiperazin-1-yl)ethoxy]acetic acid
400803-94-3P, [1-[[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-tolylpiperazin-1-
yl)ethoxy]acetonitrile 400803-95-4P, 1-[1-[3-[4-(2-
Bromobenzenesulfonyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-97-6P, 2-[4-[3-[3-(4-Chlorophenyl)-4,5,6,7-
tetrahydroindazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile
400803-98-7P, 2-[4-[3-[3-(4-Chlorophenyl)-4,5,6,7-
tetrahydroindazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenol
400803-99-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
carboxylic acid dimethylamide 400804-00-4P, 1-[1-[2-Azido-3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-1,4,6,7-
tetrahydropyrazolo [4,3-c]pyridin-5-yl]ethanone 400804-01-5P,
1-[1-[2-Amino-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-02-6P, 1-[3-(4-Chlorophenyl)-1-[2-methylamino-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400804-03-7P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
carboxylic acid amide 400804-04-8P, 3-(4-Chlorophenyl)-1-[2-
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hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
    tetrahydroindazol-5-one ethylene ketal 400804-05-9P,
    1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-
    tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
    400804-06-0P, 1-[3-(4-Chloro-3-methylphenyl)-1-[2-hydroxy-3-[4-(2-
    methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
    c]pyridin-5-yl]ethanone 400804-07-1P, 2-[4-[3-[5-Acetyl-3-(4-
    chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]benzonitrile 400804-08-2P,
    1-[1-[3-[4-(2-Chlorobenzenesulfonyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-
    chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
    400804-09-3P
, 1-[3-(4-Chloro-2-fluorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
    yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
    400804-10-6P, 2-[4-[3-[5-Acetyl-3-(4-chloro-2-fluorophenyl)-
    4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]benzonitrile 400804-11-7P, 1-[3-(4-Chlorophenyl)-5-methyl-
    4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-
    yl)propan-2-ol 400804-12-8P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-
    3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
    c]pyridin-5-yl]-2-phenylethanone 400804-13-9P,
    1-[3-(4-Chlorophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-
    c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
    400804-14-0P, 1-[1-[3-[4-(2-Aminophenyl)piperazin-1-yl]-2-
    hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-
    5-yl]ethanone 400804-15-1P, N-[2-[4-[3-[5-Acetyl-3-(4-
    chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]phenyl]methanesulfonamide
    400804-16-2P, N-[2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-
    tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]phenyl]acetamide 400804-17-3P, 1-[2-[4-[3-[5-Acetyl-3-(4-
    chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]phenyl]-3-isopropylurea 400804-18-4P
     , 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-
    1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid hydrazide
    400804-19-5P, 2-[4-[3-[5-Acetyl-3-(4-phenoxyphenyl)-4,5,6,7-
    tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]benzonitrile 400804-20-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-
     (4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-
    5-carboxylic acid phenethylamide 400804-21-9P,
    3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-
    tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid (4-methoxyphenyl)amide
    400804-22-0P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
    tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
    carbothioic acid methylamide 400804-23-1P, 2-[4-[3-[5-Acetyl-3-
     (4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]benzonitrile 400804-24-2P,
    1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-
    tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid ethylamide
    400804-25-3P, N-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-
    yl)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-
    chlorophenyl] methanesulfonamide 400804-26-4P,
    1-[3-(4-Chlorophenyl)-1-[2-[(1-ethylpyrrolidin-2-ylmethyl)amino]-3-(4-o-
    tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
    yl]ethanone 400804-27-5P, 2-[4-[3-[5-Acetyl-3-(4-
    trifluoromethylsulfanylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
    yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-28-6P,
    2-[4-[3-[5-Acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-
    tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]benzonitrile 400804-29-7P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-
     (4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-
    5-carboxylic acid isopropylamide 400804-30-0P,
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3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid phenylamide
400804-31-1P, 1-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
400804-32-2P, 1-[3-(4-Iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
400804-33-3P, 2-[4-[3-[5-Acetyl-3-(4-methanesulfonylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400804-34-4P, 1-[1-[2-Hydroxy-3-[4-(2-
hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-methanesulfonylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-35-5P,
1-[3-(4-Iodophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
400804-36-6P, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-
iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid
amide 400804-37-7P, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-
yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
carboxylic acid methyl ester 400804-38-8P, 1-[2-Hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide
400804-39-9P, N-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-
yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-
chlorophenyl] methanesulfonamide 400804-40-2P,
1-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]-3-ethylurea
400804-41-3P, 1-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-
yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-
chlorophenyl] -3-ethylurea 400804-42-4P, N-[5-[5-Acetyl-1-[2-
hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-
pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide 400804-43-5P
, Acetic acid 2-[5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-[[4-(2-cyanophenyl)piperazin-1-
yl]methyl]ethyl ester 400804-44-6P, N-[5-[5-Acetyl-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-
pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide 400804-45-7P
, N-[2-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-ylmethyl)ethyl]methanesulfonamide
400804-46-8P, 1-[3-(4-Chlorophenyl)-1-[2-[[2-(pyridin-2-
yl)ethyl]amino]-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-47-9P,
1-[3-(4-Chlorophenyl)-1-[2-(2-dimethylaminoethylamino)-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400804-48-0P, Carbonic acid 2-[5-acetyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-
o-tolylpiperazin-1-ylmethyl)ethyl methyl ester 400804-49-1P,
Carbamic acid 2-[5-acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-
ylmethyl) ethyl ester 400804-50-4P, 3-(4-Chlorophenyl) -1-[2-
hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydroindazol-5-one
400804-51-5P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-indazol-5-ol
400804-52-6P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydroindazol-5-one oxime
400804-53-7P, 1-[5-(Ethanesulfonyl)-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-
ol 400804-54-8P, 1-[5-(4-Chlorobenzenesulfonyl)-3-(4-iodophenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-
yl)propan-2-ol 400804-55-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-
yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid methylamide 400804-56-0P,
1-[3-(4-Iodophenyl)-5-(propane-2-sulfonyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
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400804-57-1P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carbonitrile 400804-60-6P, 2-[4-[3-[5-Acetyl-3-(3-chloro-4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl]benzonitrile 400804-61-7P, 2-[4-[3-[5-Acetyl-3-(3-fluoro-4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-62-8P, 2-[4-[3-[5-Acetyl-3-(4-chloro-3methylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-ylmethyl]benzonitrile RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; prepn. of piperazinylpropyl-substituted

pyrazolopyridines and analogs as cathepsin S inhibitors) 400802-42-8 CAPLUS

1H-Pyrazolo [4,3-c] pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400802-44-0 CAPLUS CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-

piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me-
$$S$$
N
 CF_3
 C_2N
 N
 $CH_2)_3$
 N

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-68-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-69-9 CAPLUS

CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-82-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400802-83-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

RN 400802-84-8 CAPLUS
CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-87-1 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 400802-59-7

CMF C27 H33 C1 F3 N7 O4 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 400803-17-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

RN 400803-18-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]methyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH} - \text{CH}_2 \\ \text{F} \end{array}$$

RN 400803-19-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-20-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-21-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(3-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA
INDEX NAME)

RN 400803-22-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(4-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400803-23-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2-fluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-24-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(4-fluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-25-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(3-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-26-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-27-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

AC N OH N Ph N
$$CH_2-CH-CH_2-N$$

RN 400803-28-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(diphenylmethyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA
INDEX NAME)

RN 400803-29-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro- (9CI) (CA INDEX NAME)

RN 400803-31-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

Ac N OH
$$CH_2-Ph$$
 $N-CH_2-CH-CH_2-N$

RN 400803-33-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-34-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[2-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-35-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-fluorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-37-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 400803-38-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(3,4-dichlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI)
(CA INDEX NAME)

AC N OH N CH₂- CH- CH₂- N
$$\sim$$
 C1

RN 400803-39-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-40-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[1,1'-biphenyl]-4-yl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-41-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)

RN 400803-42-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-methoxyphenyl)-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-43-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-methoxyphenyl)-.alpha.-[[4-(4-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-45-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$t-BuO-C$$
 N
 OH
 $N-CH_2-CH-CH_2-N$

RN 400803-46-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 400803-47-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-(1,1-dimethylethyl)phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-48-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-5-(1-oxobutyl)- (9CI) (CA INDEX NAME)

RN 400803-49-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-5-(2,2-dimethyl-1oxopropyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-50-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-(4-methoxybenzoyl)-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-51-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ H_2N-C & & & \\ N & & OH & & \\ N & & CH_2-CH-CH_2-N & & \\ \end{array}$$

RN 400803-52-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA
INDEX NAME)

RN 400803-54-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400803-55-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(4-nitrophenyl)- (9CI) (CA
INDEX NAME)

RN 400803-56-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,4-difluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

AC N OH N
$$CH_2-CH-CH_2-N$$
 F

RN 400803-57-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA
INDEX NAME)

RN 400803-59-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,3-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

AC N OH N
$$CH_2-CH-CH_2-N$$
 Me

RN 400803-60-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

RN 400803-61-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,5-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

RN 400803-62-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[3-methyl-4-(4-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N OH Me Me

RN 400803-63-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[3-methyl-4-(3-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N OH Me Me

RN 400803-64-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-65-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$ N CF_3

RN 400803-66-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH $CH_2-CH-CH_2-N$ $C1$

RN 400803-67-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 N CH_2-CH $CH-CH_2-N$ N

RN 400803-68-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-cyanophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-71-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[2,4-bis(trifluoromethyl)phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-72-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(2,4-dichlorophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 400803-73-8 CAPLUS
CN Benzonitrile, 2-[4-[3-[3-(4-chlorophenyl)-5,6-dihydro-1(4H)cyclopentapyrazolyl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-74-9 CAPLUS

CN 1(4H)-Cyclopentapyrazoleethanol, 3-(4-chlorophenyl)-5,6-dihydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ & \\ N \\ \hline \\ N \\ CH_2 \\ CH \\ CH_2 \\ \end{array}$$

RN 400803-75-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-76-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-methylphenyl)-1-piperazinyl]-2-[(2-methyl-2-propenyl)oxy]propyl]- (9CI) (CA INDEX NAME)

RN 400803-77-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-methylphenyl)-1-piperazinyl]-2-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 $O-CH_2-Ph$ N $N-CH_2-CH-CH_2-N$

RN 400803-78-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-, acetate (ester) (9CI) (CA INDEX NAME)

RN 400803-79-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 400803-80-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-, benzoate (ester) (9CI) (CA INDEX NAME)

RN 400803-81-8 CAPLUS

CN Carbamic acid, benzoyl-, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 400803-83-0 CAPLUS

CN lH-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-84-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chlorophenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-85-2 CAPLUS

CN Carbamic acid, (1,1-dimethylethyl)-, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 400803-86-3 CAPLUS

CN Carbonic acid, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl methyl ester (9CI) (CA INDEX NAME)

RN 400803-87-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[4-[4-(2-hydroxyphenyl)-1-piperazinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 N N CH_2-CH $CH-CH_2-N$ N

RN 400803-88-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[4-[4-(2-cyanophenyl)-1-piperazinyl]-2-butenyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400803-89-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400803-90-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[5-[4-(2-methoxyphenyl)-1-piperazinyl]pentyl]- (9CI) (CA INDEX NAME)

RN 400803-91-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[6-[4-(2-methoxyphenyl)-1-piperazinyl]hexyl]- (9CI) (CA INDEX NAME)

RN 400803-92-1 CAPLUS

CN Acetamide, 2-[1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 $O-CH_2-C-NH_2$ N $N-CH_2-CH-CH_2-N$

RN 400803-93-2 CAPLUS

CN Acetic acid, [1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 $O-CH_2-CO_2H$ N $N-CH_2-CH-CH_2-N$

RN 400803-94-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[2-(cyanomethoxy)-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400803-95-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-[(2-bromophenyl)sulfonyl]-1-piperazinyl]methyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400803-97-6 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-indazol-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-98-7 CAPLUS

CN 1H-Indazole-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-99-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 400804-00-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[2-azido-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-01-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-02-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-N-methyl-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-03-7 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 400804-04-8 CAPLUS
CN Spiro[1,3-dioxolane-2,5'-[5H]indazole]-1'(4'H)-ethanol,
3'-(4-chlorophenyl)-6',7'-dihydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ OH \\ N \\ OMe \end{array}$$

RN 400804-05-9 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-06-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400804-07-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-08-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-[(2-chlorophenyl)sulfonyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-09-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-2-fluorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-10-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-2-fluorophenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-11-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{Me} \\ \text{N} \\ \text{OH} \\ \text{N-CH}_2\text{-CH-CH}_2\text{-N} \\ \end{array}$$

RN 400804-12-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(phenylacetyl)- (9CI) (CA INDEX NAME)

RN 400804-13-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-14-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-aminophenyl)-1-piperazinyl]methyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-15-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-16-2 CAPLUS

CN Acetamide, N-[2-[4-[3-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400804-17-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[2-[[[(1-methylethyl)amino]carbonyl]amino]phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-18-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-, hydrazide (9CI) (CA INDEX NAME)

RN 400804-19-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 400804-20-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 400804-21-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 400804-22-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carbothioamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 400804-23-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-24-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, N-ethyl-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400804-25-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3[(methylsulfonyl)amino]phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-26-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-27-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

RN 400804-28-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-29-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ i - PrNH - C & & & \\ & & & \\ N & & OH & & \\ N & & CH_2 - CH - CH_2 - N & \\ \end{array}$$

RN 400804-30-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 400804-31-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-32-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-33-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400804-34-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-[4-(methylsulfonyl)phenyl](9CI) (CA INDEX NAME)

RN 400804-35-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400804-36-6 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} I \\ \\ H_2N-C \\ \\ N \\ \\ N-CH_2-CH-CH_2-N \\ \end{array}$$

RN 400804-37-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 400804-38-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-N-methyl-(9CI) (CA INDEX NAME)

RN 400804-39-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[(methylsulfonyl)amino]phenyl]-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-40-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[(ethylamino)carbonyl]amino]phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-41-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[[(ethylamino)carbonyl]amino]phenyl]-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-42-4 CAPLUS

CN Acetamide, N-[5-[5-acetyl-4,5,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)

RN 400804-43-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
acetate (ester) (9CI) (CA INDEX NAME)

Ac
$$N$$
 OAc N OAc N OAc N OAc N OAc N OAc N OAc OAC

RN 400804-44-6 CAPLUS

CN Acetamide, N-[5-[5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)

RN 400804-45-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-46-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-N-[2-(dimethylamino)ethyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-48-0 CAPLUS

CN Carbonic acid, 1-[[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl methyl ester (9CI) (CA INDEX NAME)

RN 400804-49-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-, carbamate (ester) (9CI) (CA INDEX NAME)

RN 400804-50-4 CAPLUS

CN 5H-Indazol-5-one, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-51-5 CAPLUS

CN 1H-Indazole-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-hydroxy-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH} - \text{CH}_2 \\ \end{array}$$

RN 400804-52-6 CAPLUS

CN 5H-Indazol-5-one, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-, oxime (9CI) (CA INDEX NAME)

RN 400804-53-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-(ethylsulfonyl)-4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-54-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-[(4-chlorophenyl)sulfonyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-55-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-N-methyl-(9CI) (CA INDEX NAME)

RN 400804-56-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-[(1-methylethyl)sulfonyl]-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-57-1 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carbonitrile, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400804-60-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[3-chloro-4-(trifluoromethyl)phenyl]-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-61-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-[3-fluoro-4-(trifluoromethyl)phenyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

IT400804-63-9P, 1-[3-(4-Chloro-3-methylphenyl)-1-[2-hydroxy-3-[4-(2methoxybenzyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400804-64-0P, 2-[4-[3-[5-Acetyl-3-(4bromo-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl]benzonitrile 400804-65-1P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxamidine 400804-66-2P, 2-[4-[3-[5-Acetyl-3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400804-67-3P**, 2-[4-[3-[5-Acetyl-3-(3,4-difluorophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-68-4P, 2-[4-[3-[5-Acetyl-3-(3,5dichlorophenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl]benzonitrile 400804-69-5P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-(2-morpholin-4ylethoxy)propyl]piperazin-1-yl]benzonitrile 400804-70-8P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-5-trifluoromethanesulfonyl-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile 400804-71-9P, 2-[4-[3-[5-Acetyl-3-(3-chloro-4-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-72-0P, N-[4-[5-Acetyl-1-[3-[4-(2cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridin-3-yl]phenyl]acetamide 400804-73-1P, 2-[4-[3-[5-Acetyl-3-(4-bromo-3-chlorophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-74-2P, 1-[3-(3-Chloro-4-methylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-75-3P, 1-[1-[3-[4-(2-Azidophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-

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bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-76-4P, 2-[4-[3-[5-Acetyl-3-(3-azido-4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400804-77-5P, 5-Methanesulfonyl-1-[3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-
1H-pyrazolo[4,3-c]pyridine 400804-78-6P, 5-Methanesulfonyl-1-[3-
[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-79-7P,
1-[1-[2-Hydroxy-3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-
nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-80-0P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-
yllpropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid
tert-butyl ester 400804-81-1P, 3-(4-Bromophenyl)-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-
c)pyridine 400804-82-2P, 1-[3-(4-Bromophenyl)-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400804-83-3P, 3-(4-Bromophenyl)-5-
methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-84-4P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl
ester 400804-85-5P, 3-(4-Bromophenyl)-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-sulfonic acid amide 400804-86-6P,
1-[3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-87-7P, 3-(3,4-Dichlorophenyl)-5-methanesulfonyl-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-
c]pyridine 400804-93-5P, 1-[4-(2,6-Dimethylphenyl)piperazin-1-
yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400804-94-6P,
1-[1-[3-[4-(2,6-Dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-
trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400804-95-7P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]isophthalonitrile 400804-96-8P,
2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl)isophthalonitrile 400804-97-9P, 3-Chloro-2-[4-[2-hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]benzoic acid methyl ester
400804-98-0P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]-N-methylbenzamide 400804-99-1P,
[3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl]phenyl]morpholin-4-ylmethanone 400805-00-7P
400805-01-8P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]-N-pyridin-4-ylmethylbenzamide
400805-02-9P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]-3-nitrobenzoic acid methyl ester
400805-03-0P, 3-Acetylamino-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]benzoic acid methyl ester 400805-04-1P,
2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-
nitrobenzamide 400805-05-2P, 2-[4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]-3-(3-methylureido)benzoic acid
methyl ester 400805-06-3P, 1-[1-[3-[4-(2,6-
```

Dinitrophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-07-4P 400805-08-5P, 1-[1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4trifluoromethylsulfanylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400805-09-6P, 1-[1-[3-[4-(3,5-Dichloropyridin-4yl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-10-9P, 2-[4-[3-[5-Acetyl-3-(4-bromophenyl)-4,5,6,7-tetrahydropyrazolo[4,3c]pyridin-1-yl]-2-azido-propyl]piperazin-1-yl]benzonitrile 400824-64-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-otolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid dimethylamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) DM 400804-63-9 CAPLUS 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-CN 4,5,6,7-tetrahydro-.alpha.-[[4-[(2-methoxyphenyl)methyl]-1piperazinyl]methyl] - (9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N CH_2 N CH_2

RN 400804-64-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromo-3-methylphenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-65-1 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboximidamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400804-66-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-67-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-(3,4-difluorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-68-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-(3,5-dichlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-69-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-[2-(4-morpholinyl)ethoxy]propyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-70-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 400804-71-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chloro-4-methylphenyl)-

.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-72-0 CAPLUS

CN Acetamide, N-[4-[5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 400804-73-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromo-3-chlorophenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-74-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chloro-4-methylphenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-75-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-azidophenyl)-1-piperazinyl]methyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-76-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-azido-4-chlorophenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-77-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-1-[3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400804-78-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400804-79-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-nitrophenyl)-.alpha.-[[4-(2-nitrophenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-80-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-81-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(4-bromophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

HN N (CH₂)₃ N
$$N$$

RN 400804-82-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-bromophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-83-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(4-bromophenyl)-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 400804-84-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-85-5 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-86-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-87-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 400804-93-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-94-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-95-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dicyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-96-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dicyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-97-9 CAPLUS

CN Benzoic acid, 3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

400804-98-0 CAPLUS RNBenzamide, 3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-CN

(methylsulfonyl) -3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-

yl]propyl]-1-piperazinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 400804-99-1 CAPLUS

Morpholine, 4-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-CN (methylsulfonyl) -3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-

yl]propyl]-1-piperazinyl]benzoyl]- (9CI) (CA INDEX NAME)

400805-00-7 CAPLUS RN

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-(4-CNmorpholinylmethyl)phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl) -3-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

RN 400805-01-8 CAPLUS

CN Benzamide, 3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 400805-02-9 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400805-03-0 CAPLUS

CN Benzoic acid, 3-(acetylamino)-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400805-04-1 CAPLUS

CN Benzamide, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-3-nitro-(9CI) (CA INDEX NAME)

RN 400805-05-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[[(methylamino)carbonyl]amino]-, methyl ester (9CI) (CA
INDEX NAME)

RN 400805-06-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-07-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-08-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

$$F_3C-S$$
 $C1$
 N
 N
 $CH_2-CH-CH_2-N$
 $C1$
 N
 $C1$

RN 400805-09-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400805-10-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[2-azido-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400824-64-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

IT 400802-96-2P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7 tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile
 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-o tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5 yl]ethanone 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7 tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
 400803-04-5P, 2-[4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7 tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1 yl]benzonitrile 400803-06-7P, 1-[3-[4-(2-Chloro-6 nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-

trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5sulfonic acid (tert-butoxycarbonyl)amide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) ВИ 400802-96-2 CAPLUS CN Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1Hpyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400802-99-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 400803-03-4 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400803-07-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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ACCESSION NUMBER: 2001:886128 CAPLUS

DOCUMENT NUMBER: 136:20084

TITLE: Preparation of 5-amino-pyrazolo[4,3-e]-1,2,4-

triazolo[1,5-c]pyrimidines as adenosine A2a receptor

antagonists

INVENTOR(S): Neustadt, Bernard R.; Lindo, Neil A.; Greenlee,

William J.; Tulshian, Deen; Silverman, Lisa S.; Xia,

Yan; Boyle, Craig D.; Chackalamannil, Samuel

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE:

PCT Int. Appl., 66 pp. CODEN: PIXXD2

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: Patent English

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														NL,		MC.	PT.
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	2002																
PRIORITY			_			2005	0123							2000			
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OTHER SO	OURCE	(S):			MAR	PAT	136:						**	~001	, <i>, , ,</i> ,		

GI

The title compds. [I; R = (un)substituted Ph, cycloalkenyl, heteroaryl; X = alkylene, COCH2; Y = O, S, CH2S, (CH2)2NH, etc.; Z = (un)substituted Ph, phenylalkyl heteroaryl, etc.; or Z and Y together are substituted piperidinyl or phenyl], useful in the treatment of Parkinson's disease, alone or in combination with other agents for treating Parkinson's disease, were prepd. and formulated. E.g., a multi-step synthesis of I [R = 2-furanyl; X = (CH2)2; ZY = 4-(2,4-difluorophenyl)piperazin-1-yl] was described. Compds. I showed Ki of 0.3-57 nM against A2a receptor binding.

IT 377728-74-0P 377728-75-1P 377728-76-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5-amino-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as adenosine A2a receptor antagonists)

RN 377728-74-0 CAPLUS

CN 7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine, 2-(2-furanyl)-7-[3-(4-phenyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

RN 377728-75-1 CAPLUS

CN 7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine,
7-[3-[4-(4-chlorophenyl)-1-piperazinyl]propyl]-2-(2-furanyl)- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\$$

RN 377728-76-2 CAPLUS

CN 7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine, 2-(2-furanyl)-7-[3-[4-(4-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2000:575148 CAPLUS

DOCUMENT NUMBER:

134:36671

TITLE:

Influence of the aliphatic spacer length on the 5-HT1A

receptor activity of new arylpiperazines with an

indazole system

AUTHOR (S):

Paluchowska, Maria H.; Duszynska, Beata; Klodzinska,

Aleksandra; Tatarczynska, Ewa

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (2000), 52(3), 209-216

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Novel arylpiperazines, contg. a terminal 1- or 2-indazolyl fragment and a di- or tetramethylene aliph. spacer, were synthesized and their 5-HT1A and 5-HT2A receptor affinities were detd. All those compds. showed a potent affinity for 5-HT1A receptors (Ki = 5-16 nM) and were evaluated for an intrinsic activity at those receptors. To det. a 5-HT1A agonistic effect of the investigated compds., their ability to induce a lower lip retraction in rats and a behavioral syndrome (flat body posture and forepaw treading) in reserpinized rats were tested, whereas their 5-HT1A antagonistic activity was assessed via inhibition of those symptoms produced by 8-hydroxy-2-(di-n-propylamino)tetralin hydrobromide (8-OH-DPAT). The effect of spacer length on the 5-HT1A activity of the tested compds. was discussed in comparison with that of the 3-methylene analogs described earlier. Both dimethylene derivs. were characterized as weak postsynaptic 5-HT1A receptor antagonists. Compds. 1-indazolyl analog and 2-indazolyl analog, with a tetramethylene aliph. chain were classified as a postsynaptic 5-HT1A antagonist and a partial 5-HT1A agonist, resp. Furthermore, the latter showed a moderate anxiolytic-like effect (conflict drinking Vogel's test in rats) and a weak antidepressant-like activity (forced swimming Porsolt's test in rats).

IT 313053-44-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(arylpiperazines, new 5-HT1A receptor ligands)

RN 313053-44-0 CAPLUS

CN 1H-Indazole, 1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS 19 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 8 OF 14

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents. 40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal English

LANGUAGE:

A new set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A selectivity. The new 5-HT1A receptor ligands were investigated in vivo to det. their 5-HT1A agonistic or antagonistic properties. Compds. with terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification for their 5-HT1A functional activity.

IT 184535-35-1

> RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) INDEX NAME)

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:193935 CAPLUS

DOCUMENT NUMBER:

130:237561

TITLE:

Indole and indazole derivatives, process for their preparation and the pharmaceutical compositions

containing them

INVENTOR(S):

Lavielle, Gilbert; Muller, Olivier;

Vayssettes-Courchay, Christine; Descombes,

Jean-Jacques; Verbeuren, Tony

PATENT ASSIGNEE(S):

SOURCE:

Adir et Compagnie, Fr. Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent French

LANGUAGE:

r. 1

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					DATE
EP 902027					19980901
EP 902027					
			GI	3, GR, IT, LI, LU	, NL, SE, MC, PT,
		, FI, RO			
FR 2767827	A1	19990305		FR 1997-10939	19970903
BR 9803318	Α	20000208		BR 1998-3318	19980901
AT 203531	E	20010815		AT 1998-402154	19980901
ES 2162404	T 3	20011216		ES 1998-402154	19980901
NO 9804033	Α	19990304		NO 1998-4033	19980902
CN 1218052	Α	19990602			
CN 1087741	В	20020717			
NZ 331683					
US 6020336	Α	20000201		US 1998-146009	19980902
CA 2246485	AA	19990303		CA 1998-2246485	19980903
ZA 9808072	Α	19990309		ZA 1998-8072	19980903
AU 9883068	A1	19990318		AU 1998-83068	19980903
AU 736602					
JP 11130773	A2	19990518		JP 1998-249314	19980903
US 6046205	Α	20000404		US 1999-299314	19990426
HK 1019738				HK 1999-104871	
PRIORITY APPLN. INFO.:			FR	1997-10939 A	19970903
				1998-146009 A3	
OTHER SOURCE (S).	MΔ	PDAT 130.2375	61		

OTHER SOURCE(S):

MARPAT 130:237561

GΙ

AB The title compds. I $[n = 0, 1; A = bond, alkylene, alkenylene; X = N, CR2 where R2 = H, alkyl; R1 = H, alkyl; G1 = pyrrolidinyl, piperidyl optionally substituted] were prepd. E.g., <math>1-\{3-[4-(5-methoxypyrimidin-1-metho$

yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

221249-30-5P TТ

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

221249-30-5 CAPLUS RN

1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-CN 1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 5 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

1999:148062 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 130:276243

Synthesis of 3-aryl-1-[(4-phenyl-1-TITLE:

piperazinyl)butyl]indazole derivatives and their

affinity to 5-HTla serotonin and dopamine D1 receptors Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

AUTHOR (S): CORPORATE SOURCE:

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci.

Ukraine, Odessa, 270086, Ukraine SOURCE:

Pharmazie (1999), 54(2), 99-101 CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A AB serotonin and D1 dopamine receptors was investigated by radioligand anal. Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole mol. was obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine)butyl substituent caused an increase of affinity and did not change the trends of affinity dependence on structure. An inverse dependence on the structure of the studied compds. was obsd. for the serotonin 5-HT1A receptors. Compds. contg. a Me group at the 5-position of mol. were more active than compds. contg. halogens. A Cl2 atom at the ortho-position of the Ph ring decreased affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine) butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range. Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs increased their affinity to dopamine receptors and reduced their affinity to serotonin receptors. Compds. contg. a Br2 atom in the 3-arylindazole moiety may be promising ligands for D1 receptors.

163434-05-7P 163434-06-8P 163434-07-9P IT 163434-08-0P

09/288,556

RN CN RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis of 3-arylindazole derivs. and their affinity to 5-HTla serotonin and dopamine D1 receptors)
163434-05-7 CAPLUS

1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

● HCl

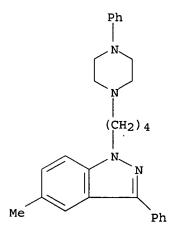
RN 163434-07-9 CAPLUS
CN 1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/288,556

HCl

RN

163434-08-0 CAPLUS
1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-,
monohydrochloride (9CI) (CA INDEX NAME) CN



● HCl

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1996:701302 CAPLUS

DOCUMENT NUMBER:

126:47180

TITLE:

Structure-activity relationship studies of CNS agents. Part 31. Analogs of MP 3022 with a different number of nitrogen atoms in the heteroaromatic fragment. New

5-HT1A receptor ligands

AUTHOR (S):

Paluchowska, Maria H.; Deren-Wesolek, Anna; Mokrosz, Jerzy L.; Charakchieva-Minol, Sijka; Chojnacka-Wojcik, 09/288,556

CORPORATE SOURCE: Institute Pharmacology, Polish Academy Sciences,

Krakow, 31-343, Pol.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996),

329(10), 451-456

VCH

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

$$N - (CH_2)_3N$$
N

II

AB Two series of MP 3022 analogs , i.e. 1-(3-methoxyphenyl)-4-propylpiperazines and 2-propyl-1,2,3,4-tetrahydroisoquinolines contg. a terminal heteroarom. system with a different no. of N atoms, were synthesized and their 5-HT1A/5-HT2A and .alpha.1 receptor affinity was assayed. The majority of investigated piperazines is classified as non-selective 5-HT1A/5-HT2A/.alpha.1 receptor ligands. Six compds. with highest affinity for 5-HT1A receptors (Ki = 4-54 nM) were tested in vivo. Their functional activity was differentiated. While I (X, Y, Z = CH), I (X = N, Y, Z = CH), and I (X, Z = N, Y = CH) behaved like weak antagonists of postsynaptic 5-HT1A receptors, I (X, Z = CH, Y = N) and I (X = CH, Y = CMe, Z = N) are classified as potential partial 5-HT1A receptor agonists. Compd. II has characteristic features of a potential weak postsynaptic 5-HT1A receptor agonist.

IT 184535-35-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and 5-HT1A, 5HT2A, and .alpha.1-adrenergic receptor binding of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CAINDEX NAME)

AUTHOR (S):

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:490642 CAPLUS

DOCUMENT NUMBER: 122:314528

TITLE: Synthesis of 1-[4-(4-phenyl-1-piperazinyl)butyl]-1,2-

dihydro-3H-1,4-benzodiazepin-2-ones and -1H-indazoles

and their affinity for benzodiazepine receptors
Andronati, S. A.; Kolodeyev, G. Ye.; Makan, S. Yu.;

Sava, V. M.; Yavorsky, A. S.

CORPORATE SOURCE: Fiz.-Khim. Inst. im. A.V. Bogatskogo, Odessa, Ukraine

SOURCE: Dopovidi Akademii Nauk Ukraini (1994), (8), 126-31

CODEN: DNUKEM

PUBLISHER: Naukova Dumka

DOCUMENT TYPE: Naukova Dumka

LANGUAGE: Russian

GI

AB Title compds. I (R = H, Cl) and II (R1 = Cl, Br, Me, R2 = H; R1 = Br, R2 = Cl) were prepd. by reaction of spiro compd. III with 1-unsubstituted benzodiazepinones and indazoles. The effect of the (phenylpiperazinyl)butyl group on the affinity to benzodiazepine receptors was examd.

IT 163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

Ι

(effect of (phenylpiperazinyl) butyl group on benzodiazepine receptor affinity of benzodiazepinones and indazoles)

RN 163434-05-7 CAPLUS

CN 1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-,

monohydrochloride (9CI) (CA INDEX NAME)

● HCl

● HCl

RN 163434-07-9 CAPLUS
CN 1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN163434-08-0 CAPLUS

1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, CNmonohydrochloride (9CI) (CA INDEX NAME)

HCl

L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:453281 CAPLUS

DOCUMENT NUMBER: 87:53281

TITLE: Indazole derivatives

Fujimura, Yasuo; Nagano, Hiroyuki; Shindo, Minoru; Kakimoto, Morio; Iwasaki, Tsuneo; Ikeda, Yugo INVENTOR(S):

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
 JP 52014765	A2	19770203	JР 1975-90172	19750725
JP 59036627	B4	19840905	02 13/3 301/2	19750725
PRIORITY APPLN. INFO.	:		JP 1975-90172	19750725
CI				

$$R^1$$
 N
 N
 $I, R=NR^2R^3$
 $(CH_2)_{nR}$
 $II, R=X$

Twenty indazole derivs. I (R1 = H, Me, Cl, Br; R2, R3 = H, Me, Et, H2C:CHCH2, PhCH2; R2R3N may form a morpholino, piperidino, or 4-substituted piperazino group; n = 2, 3) were prepd. by reaction of II (X = halo) with R2R3NH. I had central nervous system depressant, antidepressant, and antiinflammatory activities (no data). Thus, refluxing 3.4 g II (R1 = Cl, X = Br, n = 2) (prepd. by reaction of 3-phenyl-5-chloroindazole with 1,2-dibromoethane in DMF contg. NaH) with 1.83 g morpholine 10 h gave 2.8 g I (R1 = Cl, R2R3N = morpholino, n = 2), which was converted into its hydrochloride.

IT 63380-46-1P

RN 63380-46-1 CAPLUS

CN 1H-Indazole, 5-methyl-3-phenyl-1-[3-(4-phenyl-1-piperazinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:31053 CAPLUS

DOCUMENT NUMBER: 84:31053

TITLE: Indazole derivatives

INVENTOR(S): Fujimura, Yasuo; Nagano, Hiroyuki; Shindo, Minoru;

Kakimoto, Morio; Iwasaki, Tsuneo; Ikeda, Yugo

19741126

Chugai Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

Ger. Offen., 27 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT	INFORMATION:
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PATENT NO. KIND DATE APPLICATION NO. DATE -------------------DE 2503815 19750807 **A1** DE 1975-2503815 19750130 DE 2503815 Ç2 19860522 JP 50106958 A2 19750822 JP 1974-12184 19740131 JP 56037984 **B4** 19810903 JP 50148355 A2 19751127 JP 1974-55000 19740518 JP 59022708 **B4** 19840528 JP 50154244 A2 19751212 JP 1974-61853 19740603 JP 56052904 **B4** 19811215 JP 51056446 A2 19760518 JP 1974-129521 19741112 JP 60003063 B4 19850125 JP 51063172 A2 19760601 JP 1974-135184 19741126 JP 59044313 19841029 **B4** GB 1489280 19771019 Α GB 1975-2247 19750117 FR 2259601 19750829 FR 1975-2955 A1 19750130 FR 2259601 В1 19800111 PRIORITY APPLN. INFO.: JP 1974-12184 19740131 JP 1974-55000 19740518 JP 1974-61853 19740603 JP 1974-129521 19741112

JP 1974-135184 GI For diagram(s), see printed CA Issue.

Indazoles I (R = R1 = H, Me, Et; R = H, R1 = Me, Bu, allyl; NRR1 = AB piperidino, morpholino, N-methylpiperazino, N-phenylpiperazino, 2-(4-chlorophenyl-4-methyl-1,2,3,6-tetrahydropyridino, pyrrolidino; R2 = H, Cl, Me, Br, F; n = 1-3) were prepd. by treating indazoles with Cl(CH2) nNRR1, by Mannich reaction of indazoles, or by redn. of carbamoylalkylindazoles. Thus, 3-phenylindazole was treated with Me2NCH2CH2Cl.HCl to give I (R = R1 = Me, R2 = H, n = 2), which at 100 mg/kg orally in mice had a barbiturate potentiation value of 3.0, compared with imipramine 1.3. I were also antidepressant.

57614-55-8P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 57614-55-8 CAPLUS

CN1H-Indazole, 5-methyl-3-phenyl-1-[3-(4-phenyl-1-piperazinyl)propyl]-, hydrochloride (9CI) (CA INDEX NAME)